IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

In re Application of: **Scott I. Klein and Bruce F. Molino**

Application No.: **Not Assigned** Examiner: **D. Lukton**

Filed: **Herewith** Group Art Unit: **1654**

For: **ANTITHROMBOTIC AZACYLOALKYLALKANOYL PEPTIDES AND PSEUDOPEPTIDES**

Attorney Docket No.: **A1158 US DIV 1**

CERTIFICATE OF EXPRESS MAILING

I hereby certify that the documents referred to as enclosed herein are being deposited with the United States Postal Service on this date, July 12, 2001 in an envelope as "Express Mail Post Office to Addressee" Mailing Label Number EL729185628US addressed to the: Commissioner of Patents, Washington, D.C. 20231.

Dated: July 12, 2001

Jaclyn M. Schlitter

Box Patent Application
Commissioner for Patents
Washington, DC 20231

PRELIMINARY AMENDMENT

Sir:

Please enter the following amendments prior to examination of the above identified patent application.

IN THE SPECIFICATION

Please amend the specification as follows:

At page 1, please amend the first paragraph beginning at line 5 to read as follows:

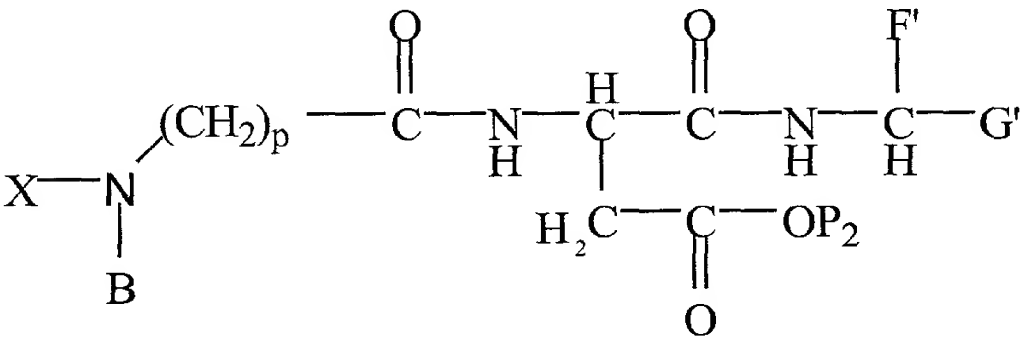
This application is a divisional of U.S. Patent Application Serial No. 09/137,998 filed August 21, 1998, which is a continuation of U.S. Patent Application Serial No. 08/628,648 filed May 2, 1996, now U.S. Patent No. 5,866,685, which application, in turn, claims priority benefit under 35 U.S.C. § 371 of PCT/US94/12135 filed October 17, 1994, which is a continuation-in-part application of co-pending U.S. Application Serial No. 08/138,820, filed October 15, 1993, now abandoned, the disclosures of all of which are incorporated herein by reference.

IN THE CLAIMS

Please cancel claims 1 to 19 without prejudice.

Please add the following claims:

20. A compound having the formula:



wherein X is H or P_3;

B is selected from the group consisting of alkyl, cycloalkyl, cycloalkylalkyl, alkylcycloalkyl, alkylcycloalkylalkyl, aryl, aralkyl, alkylaryl and alkylaralkyl;

F' is selected from the group consisting of -H, alkyl, hydroxymethyl, 1-hydroxyethyl, mercaptomethyl, 2-methylthioethyl, carboxymethyl, 2-carboxyethyl, aminocarbonylmethyl, 2-aminocarbonylethyl, 4-aminobutyl, 3-aminopropyl, 3-guanidinopropyl, indol-3-ylmethyl, imidazol-3-ylmethyl, cycloalkyl, cycloalkylalkyl, cyclohexylcyclohexylmethyl, 1,2,3,4-tetrahydronaphth-5-ylmethyl, alkylcycloalkyl, alkylcycloalkylalkyl, aryl, substituted aryl, aralkyl, substitued aralkyl, heterocyclyl, substituted heterocyclyl, heterocyclylalkyl and substituted heterocyclylalkyl, wherein said heterocyclyl is further selected from the group consisting of pyridyl, pyrimidyl and pyrrolidyl;

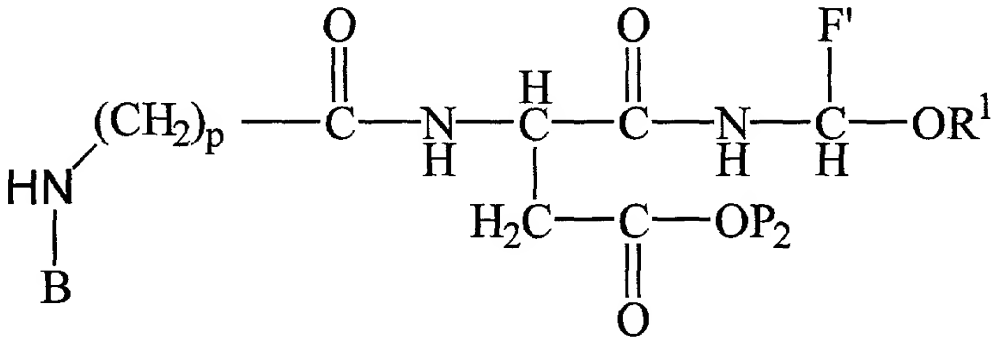
G' is selected from the group consisting of alkyl, cycloalkyl, cycloalkylalkyl, alkylcycloalkyl, alkylcycloalkylalkyl, aryl, substituted aryl, aralkyl, substituted aralkyl, heterocyclyl, substituted heterocyclyl, heterocyclylalkyl, substituted heterocyclylalkyl, OR^1 and NR^1R^2, wherein R^1 and R^2 are independently selected from the group consisting of H, alkyl, cycloalkyl, cycloalkylalkyl, alkylcycloalkyl, alkylcycloalkylalkyl, aryl, aralkyl, alkylaryl and alkylaralkyl, and said heterocyclyl is further selected from the group consisting of pyridyl, pyrimidyl and pyrrolidyl;

p is 1 to 4; P_2 is a carboxylic acid protecting group; and P_3 is an amino protecting group.

21. A compound of claim 20, wherein X is P_3; F' is selected from the group consisting of H, alkyl, cycloalkyl, cycloalkylalkyl, cyclohexylcyclohexylmethyl, 1,2,3,4-tetrahydronaphth-5-ylmethyl, alkylcycloalkyl, alkylcycloalkylalkyl, aryl, substituted aryl, aralkyl and substituted

aralkyl; G' is OR^1 or NR^1R^2; and p is 1 or 2.

22. A compound having the formula:



wherein:

B is selected from the group consisting of alkyl, cycloalkyl, cycloalkylalkyl, alkylcycloalkyl, alkylcycloalkylalkyl, aryl, aralkyl, alkylaryl and alkylaralkyl;

F' is selected from the group consisting of butyl, hydroxymethyl, 1-hydroxyethyl, mercaptomethyl, 2-methylthioethyl, carboxymethyl, 2-carboxyethyl, aminocarbonylmethyl, 2-aminocarbonylethyl, 4-aminobutyl, 3-aminopropyl, 3-guanidinopropyl, indol-3-ylmethyl, imidazol-3-ylmethyl, cycloalkyl, cycloalkylalkyl, cyclohexylcyclohexylmethyl, 1,2,3,4-tetrahydronaphth-5-ylmethyl, alkylcycloalkyl, alkylcycloalkylalkyl, aryl, substituted aryl, aralkyl, substitued aralkyl, heterocyclyl, substituted heterocyclyl, heterocyclylalkyl and substituted heterocyclylalkyl, wherein said heterocyclyl is further selected from the group consisting of pyridyl, pyrimidyl and pyrrolidyl;

R^1 is selected from the group consisting of -H, alkyl, cycloalkyl, cycloalkylalkyl, alkylcycloalkyl, alkylcycloalkylalkyl, aryl, aralkyl, alkylaryl and alkylaralkyl,

p is 1 to 4; and P_2 is a carboxylic acid protecting group.

23. A compound of claim 22, wherein F' is selected from the group consisting of cycloalkyl, cycloalkylalkyl, cyclohexylcyclohexylmethyl, 1,2,3,4-tetrahydronaphth-5-ylmethyl, alkylcycloalkyl, alkylcycloalkylalkyl, aryl, substituted aryl, aralkyl and substituted aralkyl; and p is 1 or 2.

REMARKS

This amendment is submitted prior to examination of the above-identified Divisional Patent Application. The specification has been amended to insert a priority claim to U.S. Patent Application Serial No. 09/137,998 filed August 21, 1998, which application, in turn, has a priority claim to U.S. Patent Application Serial No. 08/628,648 filed May 2, 1996, now U.S. Patent No. 5,866,685, which application, in turn, has a priority claim to PCT/US94/12135 filed October 17, 1994, which, in turn, has a priority claim to U.S. Patent Application Serial No. 08/138,820 filed October 15, 1993, now abandoned. Claims 1 to 19 have been canceled.

Claims 20-23 are added, which were added to and restricted from the parent application. These claims are not directed to new matter for the reasons given in the parent application.

Attached hereto is a marked-up version of the changes made to the specification and claims by the current amendment. The attached page is captioned "**Version with markings to show**

changes made." A favorable first action on the merits is respectfully requested. The Examiner is requested to telephone the undersigned if there are any issues requiring resolution.

Finally, the Examiner is authorized to charge Applicant's Deposit Account No. 18-1982 for any charges in connection with this Preliminary Amendment.

Respectfully submitted,

Dated: July 10, 2001

Peter J. Butch III
Reg. No. 32,203

Aventis Pharmaceuticals Products Inc.
Routes 202-206
Mail Stop EMC-G1
Bridgewater, NJ 08807-0800
Telephone: (908) 231-3800
Facsimile: (908) 231-2626

VERSION WITH MARKINGS TO SHOW CHANGES MADE

In the specification:

The paragraph beginning at line 5 of page 1 has been amended as follows:

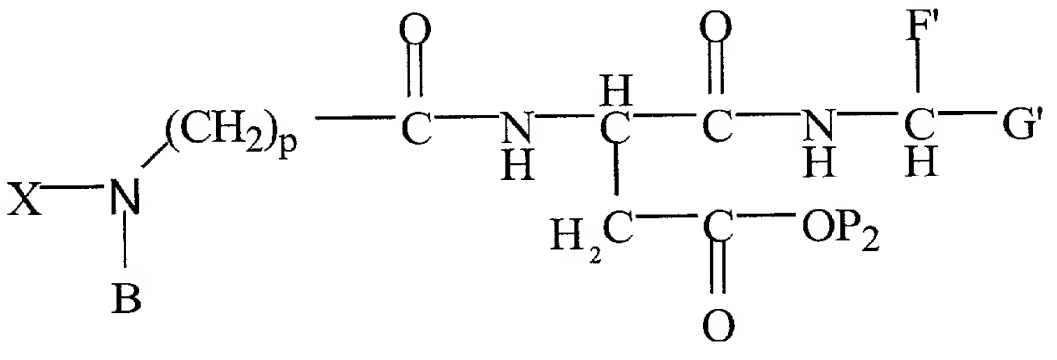
This application is a divisional of U.S. Patent Application Serial No. 09/137,998 filed August 21, 1998, which is a continuation of U.S. Patent Application Serial No. 08/628,648 filed May 2, 1996, now U.S. Patent No. 5,866,685, which application, in turn, claims priority benefit under 35 U.S.C. § 371 of PCT/US94/12135 filed October 17, 1994, which is a continuation-in-part application of co-pending U.S. Application Serial No. 08/138,820, filed October 15, 1993, now abandoned, the disclosures of all of which are incorporated herein by reference.

In the claims:

Claims 1 to 19 have been canceled, without prejudice.

New claims 20 to 23 have been added:

20. A compound having the formula:



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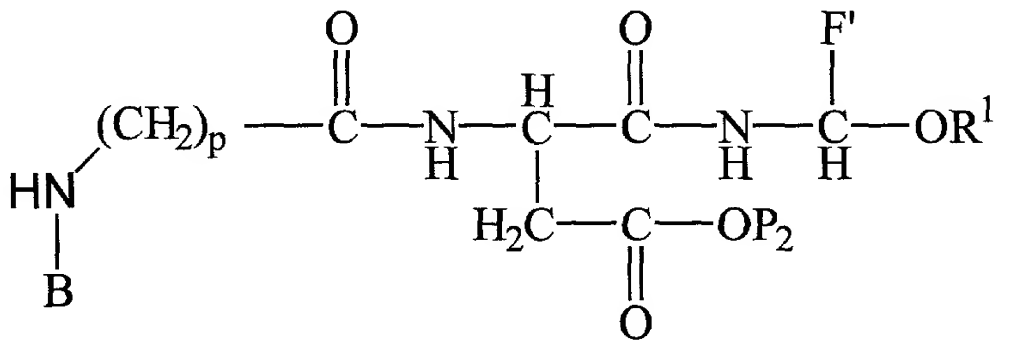
G' is selected from the group consisting of alkyl, cycloalkyl, cycloalkylalkyl, alkylcycloalkyl, alkylcycloalkylalkyl, aryl, substituted aryl, aralkyl, substituted aralkyl, heterocyclyl, substituted heterocyclyl, heterocyclylalkyl, substituted heterocyclylalkyl, OR^1 and NR^1R^2, wherein R^1 and R^2 are independently selected from the group consisting of H, alkyl, cycloalkyl, cycloalkylalkyl, alkylcycloalkyl, alkylcycloalkylalkyl, aryl, aralkyl, alkylaryl and alkylaralkyl, and said heterocyclyl is further selected from the group consisting of pyridyl, pyrimidyl and pyrrolidyl;

p is 1 to 4; P_2 is a carboxylic acid protecting group; and P_3 is an amino protecting group.

21. A compound of claim 20, wherein X is P_3; F' is selected from the group consisting of H, alkyl, cycloalkyl, cycloalkylalkyl, cyclohexylcyclohexylmethyl, 1,2,3,4-tetrahydronaphth-5-

ylmethyl, alkylcycloalkyl, alkylcycloalkylalkyl, aryl, substituted aryl, aralkyl and substituted aralkyl; G' is OR^1 or NR^1R^2; and p is 1 or 2.

22. A compound having the formula:



wherein:

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F' is selected from the group consisting of butyl, hydroxymethyl, 1-hydroxyethyl, mercaptomethyl, 2-methylthioethyl, carboxymethyl, 2-carboxyethyl, aminocarbonylmethyl, 2-aminocarbonylethyl, 4-aminobutyl, 3-aminopropyl, 3-guanidinopropyl, indol-3-ylmethyl, imidazol-3-ylmethyl, cycloalkyl, cycloalkylalkyl, cyclohexylcyclohexylmethyl, 1,2,3,4-tetrahydronaphth-5-

ylmethyl, alkylcycloalkyl, alkylcycloalkylalkyl, aryl, substituted aryl, aralkyl, substitued aralkyl, heterocyclyl, substituted heterocyclyl, heterocyclylalkyl and substituted heterocyclylalkyl, wherein said heterocyclyl is further selected from the group consisting of pyridyl, pyrimidyl and pyrrolidyl;

R^1 is selected from the group consisting of -H, alkyl, cycloalkyl, cycloalkylalkyl, alkylcycloalkyl, alkylcycloalkylalkyl, aryl, aralkyl, alkylaryl and alkylaralkyl,

p is 1 to 4; and P_2 is a carboxylic acid protecting group.

23. A compound of claim 22, wherein F' is selected from the group consisting of cycloalkyl, cycloalkylalkyl, cyclohexylcyclohexylmethyl, 1,2,3,4-tetrahydronaphth-5-ylmethyl, alkylcycloalkyl, alkylcycloalkylalkyl, aryl, substituted aryl, aralkyl and substituted aralkyl; and p is 1 or 2.